08/01/2007,10567801.trn

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LOGINID:SSPTASXY1626

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS 4	AUG 28	ADISCTI Reloaded and Enhanced
NEWS 5	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes
NEWS 6	SEP 11	CA/CAplus enhanced with more pre-1907 records
NEWS 7	SEP 21	CA/CAplus fields enhanced with simultaneous left and right truncation
NEWS 8	SEP 25	CA(SM)/CAplus(SM) display of CA Lexicon enhanced
NEWS 9	SEP 25	CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS 10	SEP 25	CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
NEWS 11	SEP 28	CEABA-VTB classification code fields reloaded with new classification scheme
NEWS 12	OCT 19	LOGOFF HOLD duration extended to 120 minutes
NEWS 13	OCT 19	E-mail format enhanced
NEWS 14	OCT 23	Option to turn off MARPAT highlighting enhancements available
NEWS 15	OCT 23	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 16	OCT 23	The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded
NEWS 17	OCT 30	CHEMLIST enhanced with new search and display field
NEWS 18	NOV 03	JAPIO enhanced with IPC 8 features and functionality
NEWS 19	NOV 10	CA/CAplus F-Term thesaurus enhanced
NEWS 20	NOV 10	STN Express with Discover! free maintenance release Version 8.01c now available
NEWS 21	NOV 20	CAS Registry Number crossover limit increased to 300,000 in additional databases
NEWS 22	NOV 20	CA/CAplus to MARPAT accession number crossover limit increased to 50,000
NEWS 23	DEC 01	CAS REGISTRY updated with new ambiguity codes
NEWS 24	DEC 11	CAS REGISTRY chemical nomenclature enhanced
NEWS 25	DEC 14	WPIDS/WPINDEX/WPIX manual codes updated
NEWS 26	DEC 14	GBFULL and FRFULL enhanced with IPC 8 features and functionality
NEWS 27	DEC 18	CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role
NEWS 28	DEC 18	CA/CAplus patent kind codes updated
NEWS 29	DEC 18	MARPAT to CA/CAplus accession number crossover limit increased to 50,000
NEWS 30	DEC 18	MEDLINE updated in preparation for 2007 reload

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

```
 NEWS HOURS     STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN     Welcome Banner and News Items
 NEWS IPC8      For general information regarding STN implementation of IPC 8
 NEWS X25       X.25 communication option no longer available.

Enter NEWS followed by the item number or name to see news on that
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* * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:46:52 ON 26 DEC 2006

=> file reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

FILE 'REGISTRY' ENTERED AT 15:47:06 ON 26 DEC 2006
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STRUCTURE FILE UPDATES:   25 DEC 2006  HIGHEST RN 916309-42-7
DICTIONARY FILE UPDATES:  25 DEC 2006  HIGHEST RN 916309-42-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

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REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
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on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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Uploading C:\Program Files\Stnexp\Queries\10567801.str
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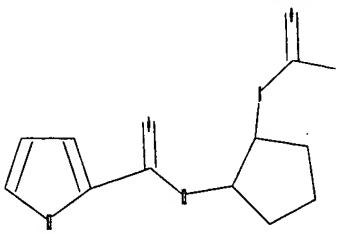

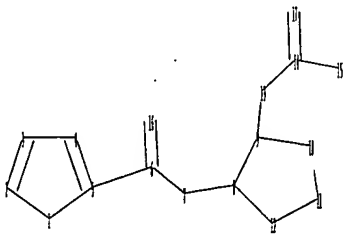

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6  7  13  14  15  16  17
ring nodes :
1  2  3  4  5  8  9  10  11  12
chain bonds :
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ring bonds :
1-2  1-5  2-3  3-4  4-5  8-9  8-12  9-10  10-11  11-12
exact/norm bonds :
1-2  1-5  2-3  3-4  4-5  6-7  6-16  7-8  8-9  8-12  9-10  9-13  10-11  11-12  13-14
 14-17
exact bonds :
5-6  14-15


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:CLASS  7:CLASS  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:CLASS  14:CLASS  15:CLASS  16:CLASS  17:CLASS



L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1                STR
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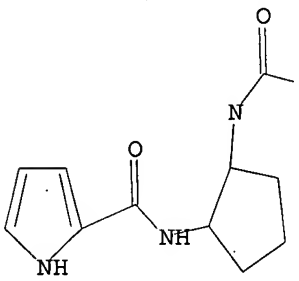


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Structure attributes must be viewed using STN Express query preparation.


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SAMPLE SEARCH INITIATED 15:47:24 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       96 TO ITERATE

100.0% PROCESSED       96 ITERATIONS                                 12 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         1333 TO     2507
PROJECTED ANSWERS:              33 TO      447

L2            12 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 15:47:28 FILE 'REGISTRY'
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FULL SCREEN SEARCH COMPLETED -      1986 TO ITERATE

100.0% PROCESSED     1986 ITERATIONS                          149 ANSWERS
SEARCH TIME: 00.00.01

L3          149 SEA SSS FUL L1

=> file hcaplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   166.94     167.15

FILE 'HCAPLUS' ENTERED AT 15:47:33 ON 26 DEC 2006
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FILE COVERS 1907 - 26 Dec 2006  VOL 146 ISS 1
FILE LAST UPDATED: 25 Dec 2006  (20061225/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> s l3
L4            6 L3

=> d ed abs ibib hitstr 1-6
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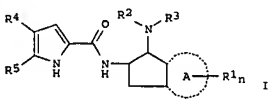
L4 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 11 Mar 2005
GI



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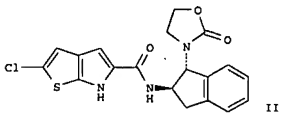


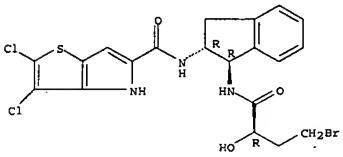
II

AB Title compds. represented by the formula I [wherein A = phenylene or heteroarylene; n = 0-2; R1 = independently halo, NO2, CN, carbamoyl, etc.; R2R3 = heterocyclic ring; R4R5 = -SC(R6):C(R7)- or -C(R7):C(R6)S-; R6, R7 = independently H, halo, OH, carboxy, etc.; and pharmaceutically acceptable salts or prodrugs thereof] were prepared as glycogen phosphorylase inhibitors (no data). For example, II was given in a multi-step synthesis starting from the reaction of Me 2-chlorothiophene-3-carboxaldehyde with Me azidoacetate. I and their pharmaceutical compns. are useful as glycogen phosphorylase inhibitors for the treatment of disease states associated with increased glycogen phosphorylase activity (no data).

ACCESSION NUMBER: 2005:216669 HCAPLUS
DOCUMENT NUMBER: 142:297985
TITLE: Preparation of thienopyrrole carboxamides as glycogen phosphorylase inhibitors
INVENTOR(S): Bennett, Stuart Norman Lile; Simpson, Iain
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

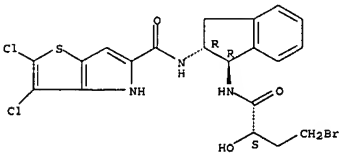
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020986	A1	20050310	WO 2004-GB3622	20040825

L4 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



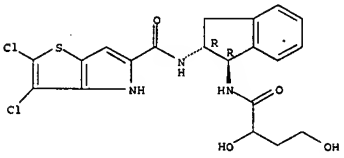
RN 847658-24-6 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, N-[(1R,2R)-1-[[(2S)-4-bromo-2-hydroxy-1-oxobutyl]amino]-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 847658-25-7 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-1-[(2,4-dihydroxy-1-oxobutyl)amino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

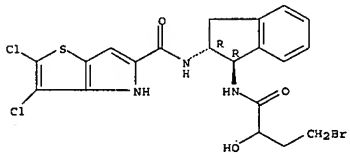


RN 847658-26-8 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-1-[[(2R)-2,4-dihydroxy-1-oxobutyl]amino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: GB 2003-20241 A 20030829
GB 2003-24788 A 20031024

OTHER SOURCE(S): MARPAT 142:297985
IT 847658-22-4P 847658-23-5P 847658-24-6P 847658-25-7P 847658-26-8P 847658-27-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thienopyrrole carboxamides as glycogen phosphorylase inhibitors)
RN 847658-22-4 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, N-[(1R,2R)-1-[(4-bromo-2-hydroxy-1-oxobutyl]amino]-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro- (9CI) (CA INDEX NAME)

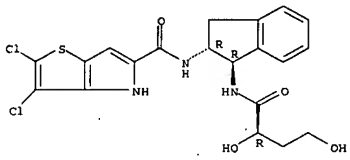
Absolute stereochemistry.



RN 847658-23-5 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, N-[(1R,2R)-1-[[(2R)-4-bromo-2-hydroxy-1-oxobutyl]amino]-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro- (9CI) (CA INDEX NAME)

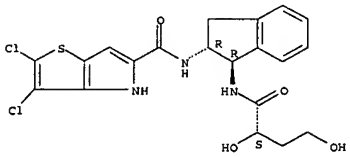
Absolute stereochemistry.

L4 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.



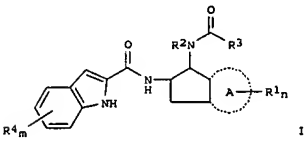
RN 847658-27-9 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-1-[[(2S)-2,4-dihydroxy-1-oxobutyl]amino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 04 Mar 2005
GI



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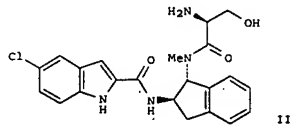


II

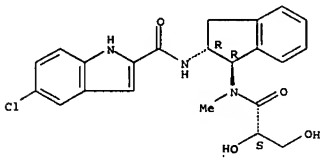
AB Title compds. represented by the formula I [wherein A = phenylene or heteroarylene; n = 0-2; m = 0-2; R1 = independently halo, NO2, CN, carbamoyl, etc.; R2, R3 = independently (halo)alkyl, CF3, hydroxyalkyl, etc.; R4 = independently halb, OH, carboxy, etc.; and pharmaceutically acceptable salts or prodrugs thereof] were prepared as glycogen phosphorylase inhibitors. For example, II•HCl was given in a multi-step synthesis starting from 5-chloroindole-2-carboxylic acid. II showed 173 μM thermodn. solubility and plasma protein binding activity with Ki value of 0.5 μM. Thus, I and their pharmaceutical compns. are useful as glycogen phosphorylase inhibitors for the treatment of disease states associated with increased glycogen phosphorylase activity.

ACCESSION NUMBER: 2005:182625 HCAPLUS
DOCUMENT NUMBER: 142:261398
TITLE: Preparation of indole-2-carboxamide derivatives as glycogen phosphorylase inhibitors
INVENTOR(S): Bennett, Stuart Norman Lile; Simpson, Iain; Whittamore, Paul Robert Owen
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca Uk Limited
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019172	A1	20050303	WO 2004-GB3552	20040818
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1660448	A1	20060531	EP 2004-801875	20040818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 2006199966	A1	20060907	US 2006-567798	20060209
PRIORITY APPLN. INFO.:			GB 2003-19690	A 20030822
			WO 2004-GB3552	W 20040818

OTHER SOURCE(S): MARPAT 142:261398
IT 846542-52-7P 846542-53-8P 846542-54-9P
846542-55-0P 846542-56-1P 846542-57-2P
846542-58-3P 846542-59-4P 846542-60-7P
846542-61-8P 846542-62-9P 846542-63-0P
846542-64-1P 846542-65-2P 846542-67-4P
846542-68-5P 846542-69-6P 846542-70-9P
846542-71-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole-2-carboxamide deriva. as glycogen phosphorylase inhibitors)
RN 846542-52-7 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-1-[[(2S)-2,3-dihydroxy-1-oxopropyl]methylamino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

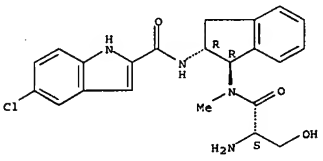
Absolute stereochemistry.



RN 846542-53-8 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-1-[[(2S)-2-amino-3-hydroxy-1-

L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
oxopropyl]methylamino]-2,3-dihydro-1H-inden-2-yl]-5-chloro-, monohydrochloride (9CI) (CA INDEX NAME)

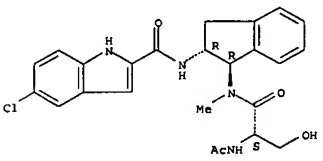
Absolute stereochemistry.



● HCl

RN 846542-54-9 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-1-[[(2S)-2-(acetylamino)-3-hydroxy-1-oxopropyl]methylamino]-2,3-dihydro-1H-inden-2-yl]-5-chloro- (9CI) (CA INDEX NAME)

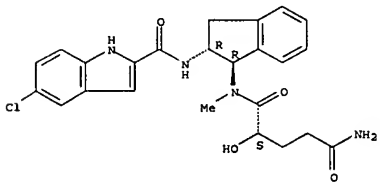
Absolute stereochemistry.



RN 846542-55-0 HCAPLUS
CN Pentanediamide, N1-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-2-hydroxy-N1-methyl-, (2S)- (9CI) (CA INDEX NAME)

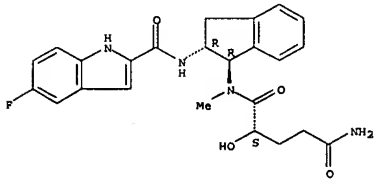
Absolute stereochemistry.

L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



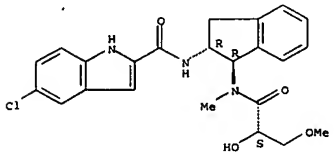
RN 846542-56-1 HCAPLUS
CN Pentanediamide, N1-[(1R,2R)-2-[[(5-fluoro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-2-hydroxy-N1-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 846542-57-2 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[[(2S)-2-hydroxy-3-methoxy-1-oxopropyl]methylamino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

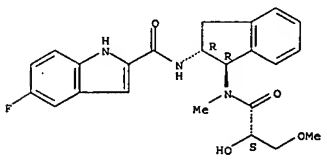
Absolute stereochemistry.



RN 846542-58-3 HCAPLUS

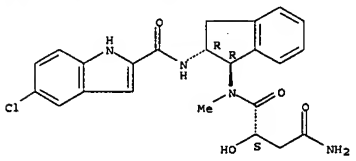
L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-2,3-dihydro-1-[[(2S)-2-hydroxy-3-methoxy-1-oxopropyl]methylamino]-1H-inden-2-yl]-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 846542-59-4 HCAPLUS
CN Butanediamide, N1-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-2-hydroxy-N1-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

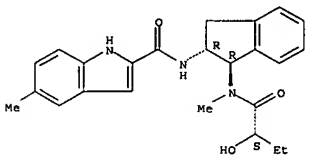


RN 846542-60-7 HCAPLUS
CN Butanediamide, N1-[(1R,2R)-2-[[(5-fluoro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-2-hydroxy-N1-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

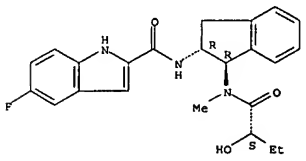
L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-2,3-dihydro-1-[[(2S)-2-hydroxy-1-oxobutyl]methylamino]-1H-inden-2-yl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



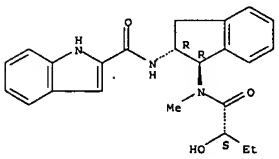
RN 846542-64-1 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-2,3-dihydro-1-[[(2S)-2-hydroxy-1-oxobutyl]methylamino]-1H-inden-2-yl]-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



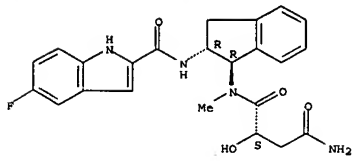
RN 846542-65-2 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-2,3-dihydro-1-[[(2S)-2-hydroxy-1-oxobutyl]methylamino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



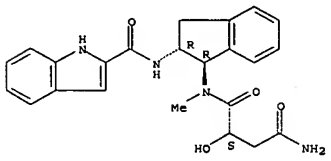
RN 846542-67-4 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[[(2S)-2-hydroxy-1-oxobutyl]methylamino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



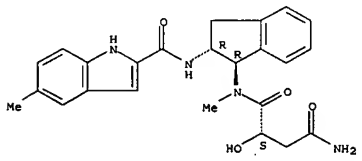
RN 846542-61-8 HCAPLUS
CN Butanediamide, N1-[(1R,2R)-2,3-dihydro-2-[(1H-indol-2-ylcarbonyl)amino]-1H-inden-1-yl]-2-hydroxy-N1-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 846542-62-9 HCAPLUS
CN Butanediamide, N1-[(1R,2R)-2,3-dihydro-2-[[(5-methyl-1H-indol-2-yl)carbonyl]amino]-1H-inden-1-yl]-2-hydroxy-N1-methyl-, (2S)- (9CI) (CA INDEX NAME)

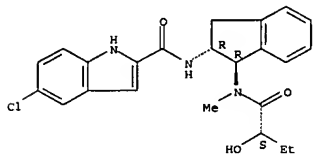
Absolute stereochemistry.



RN 846542-63-0 HCAPLUS

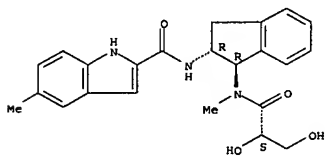
L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



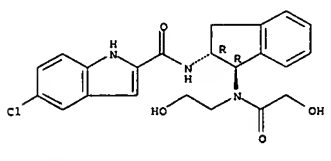
RN 846542-68-5 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-1-[[(2S)-2,3-dihydroxy-1-oxopropyl]methylamino]-2,3-dihydro-1H-inden-2-yl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 846542-69-6 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[(hydroxyacetyl)(2-hydroxyethyl)amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

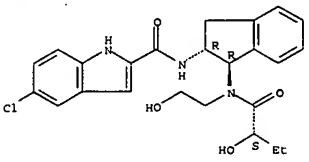
Absolute stereochemistry.



RN 846542-70-9 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[(2-hydroxyethyl)[(2S)-2-hydroxy-1-oxobutyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

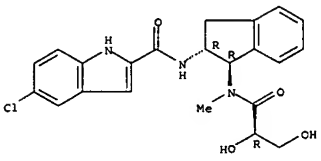
L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 846542-71-0 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-1-[[(2R)-2,3-dihydroxy-1-oxopropyl]methylamino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

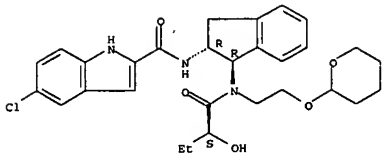
Absolute stereochemistry.



IT 846542-85-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indole-2-carboxamide derivs. as glycogen phosphorylase inhibitors)
RN 846542-85-6 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[[(2S)-2-hydroxy-1-oxobutyl][2-[(tetrahydro-2H-pyran-2-yl)oxy]ethyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

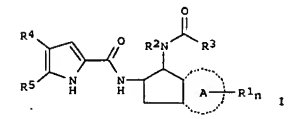
L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 03 Mar 2005
GI



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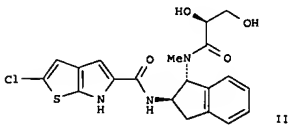

II

AB Title compds. represented by the formula I [wherein A = phenylene or heteroarylene; n = 0-2; R1 = independently halo, NO2, CN, carbamoyl, etc.; R2, R3 = independently (halo)alkyl, CF3, hydroxyalkyl, etc.; R4R5 = -SC(R6):C(R7)- or -C(R7):C(R6)S-; R6, R7 = independently H, halo, OH, carboxy, etc.; and pharmaceutically acceptable salts or prodrugs thereof] were prepared as glycogen phosphorylase inhibitors. For example, II was given in a multi-step synthesis starting from the reaction of Me 2-chlorothiophene-3-carboxaldehyde with Me azidoacetate. II showed plasma-protein binding activity with an IC50 value of 0.07 μM. Thus, I and their pharmaceutical compns. are useful as glycogen phosphorylase inhibitors for the treatment of disease states associated with increased glycogen phosphorylase activity.

ACCESSION NUMBER: 2005:177891 HCAPLUS
DOCUMENT NUMBER: 142:261397
TITLE: Preparation of thieno[2,3-b]pyrrole-5-carboxamide derivatives as glycogen phosphorylase inhibitors
INVENTOR(S): Bennett, Stuart Norman Lile; Simpson, Iain; Whittamore, Paul Robert Owen
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca Uk Limited
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005018637	A1	20050303	WO 2004-GB3546	20040818

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1656136	A1	20060517	EP 2004-768106	20040818
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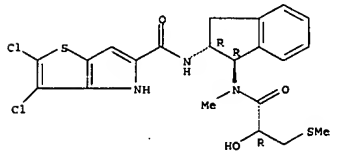
US 2006264494	A1	20061123	US 2006-567801	20060209
PRIORITY APPLN. INFO.:			GB 2003-19759	A 20030822
			WO 2004-GB3546	W 20040818

OTHER SOURCE(S): MARPAT 142:261397
IT 846545-87-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of thieno[2,3-b]pyrrole-5-carboxamide derivs. as glycogen phosphorylase inhibitors)
RN 846545-87-7 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-2,3-dihydro-1-[[(2R)-2-hydroxy-3-(methylthio)-1-oxopropyl]methylamino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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846545-77-5P 846545-78-6P 846545-79-7P
846545-81-1P 846545-82-2P 846545-83-3P
846545-84-4P 846545-85-5P 846545-86-6P
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846545-91-3P 846545-92-4P 846545-93-5P
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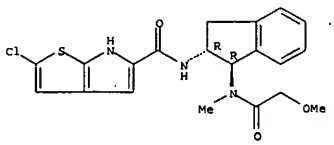
846546-03-0P 846546-04-1P

L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thieno[2,3-b]pyrrole-5-carboxamide derivs. as glycogen phosphorylase inhibitors)

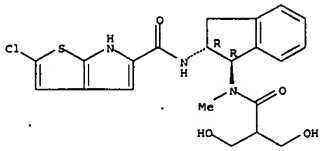
RN 846545-67-3 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[(methoxyacetyl)methylamino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 846545-68-4 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[[3-hydroxy-2-(hydroxymethyl)-1-oxopropyl]methylamino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

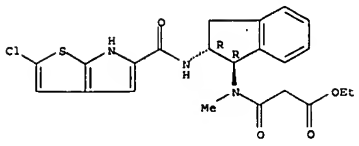
Absolute stereochemistry.



RN 846545-69-5 HCAPLUS
CN Propanoic acid, 3-[[(1R,2R)-2-[[(2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]methylamino]-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)

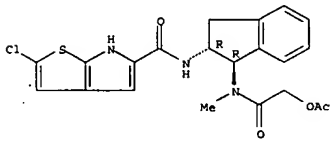
Absolute stereochemistry.

L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



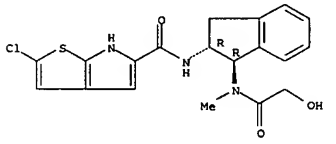
RN 846545-70-8 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, N-[(1R,2R)-1-[[(acetyloxy)acetyl]methylamino]-2,3-dihydro-1H-inden-2-yl]-2-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



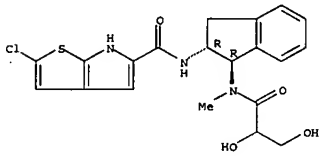
RN 846545-71-9 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[(hydroxyacetyl)methylamino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



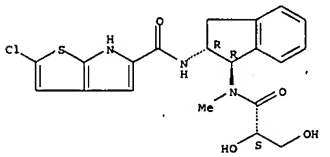
RN 846545-72-0 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-1-[(2,3-dihydroxy-1-oxopropyl)methylamino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.



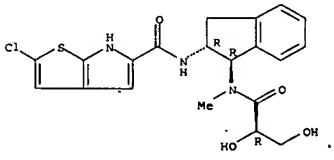
RN 846545-73-1 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-1-[[(2S)-2,3-dihydroxy-1-oxopropyl]methylamino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 846545-74-2 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-1-[[(2R)-2,3-dihydroxy-1-oxopropyl]methylamino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

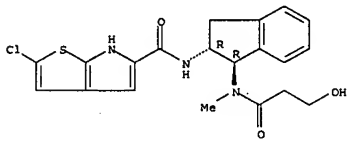
Absolute stereochemistry.



RN 846545-76-4 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[(3-hydroxy-1-oxopropyl)methylamino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

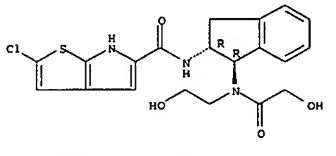
L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



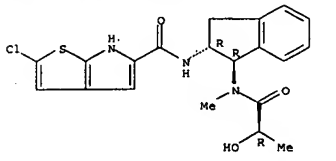
RN 846545-77-5 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[(hydroxyacetyl)(2-hydroxyethyl)amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 846545-78-6 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[[(2R)-2-hydroxy-1-oxopropyl]methylamino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

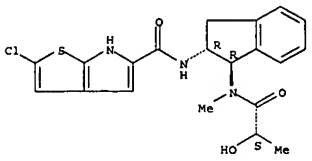
Absolute stereochemistry.



RN 846545-79-7 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[[(2S)-2-hydroxy-1-oxopropyl]methylamino]-1H-inden-2-yl]- (9CI) (CA INDEX

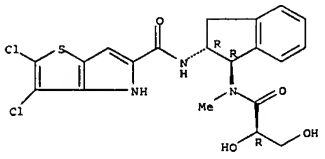
L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
NAME)

Absolute stereochemistry.



RN 846545-81-1 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-1-[[(2R)-2,3-dihydroxy-1-oxopropyl]methylamino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

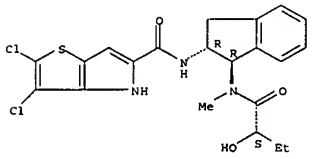


RN 846545-82-2 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-1-[[(2S)-2,3-dihydroxy-1-oxopropyl]methylamino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

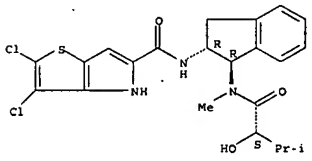
L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-2,3-dihydro-1-[[(2S)-2-hydroxy-1-oxobutyl]methylamino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 846545-86-6 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-2,3-dihydro-1-[[(2S)-2-hydroxy-3-methyl-1-oxobutyl]methylamino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

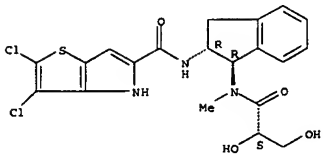
Absolute stereochemistry.



RN 846545-88-8 HCAPLUS
CN Carbamic acid, [(2S)-3-[[(1R,2R)-2-[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]methylamino]-2-hydroxy-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

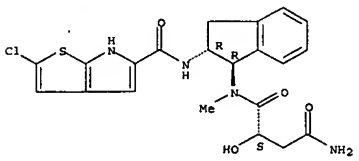
Absolute stereochemistry.

L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



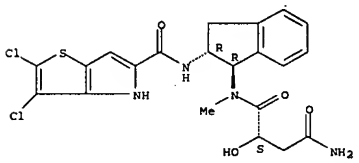
RN 846545-83-3 HCAPLUS
CN Butanediamide, N1-[(1R,2R)-2-[[(2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-2-hydroxy-N1-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



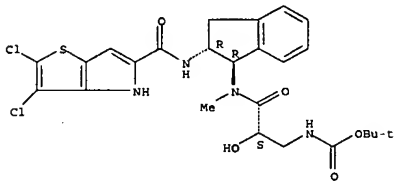
RN 846545-84-4 HCAPLUS
CN Butanediamide, N1-[(1R,2R)-2-[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-2-hydroxy-N1-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



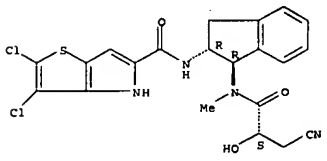
RN 846545-85-5 HCAPLUS

L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



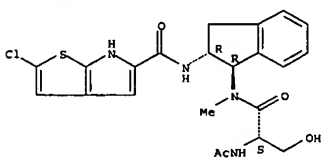
RN 846545-89-9 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-1-[[(2S)-3-cyano-2-hydroxy-1-oxopropyl]methylamino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 846545-90-2 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, N-[(1R,2R)-1-[[(2S)-2-(acetylamino)-3-hydroxy-1-oxopropyl]methylamino]-2,3-dihydro-1H-inden-2-yl]-2-chloro- (9CI) (CA INDEX NAME)

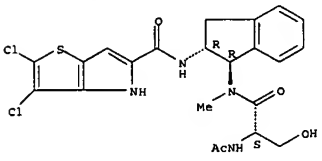
Absolute stereochemistry.



L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 846545-91-3 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide,
N-[(1R,2R)-1-[[(2S)-2-(acetylamino)-

3-hydroxy-1-oxopropyl]methylamino)-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro-
(9CI) (CA INDEX NAME)

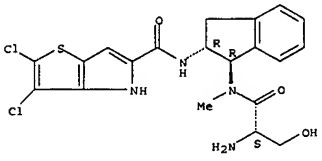
Absolute stereochemistry.



RN 846545-92-4 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, N-[(1R,2R)-1-[[(2S)-2-amino-3-

hydroxy-1-oxopropyl]methylamino)-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro-,
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

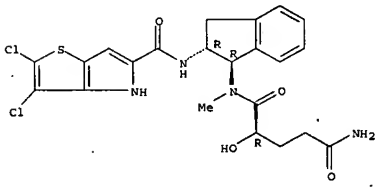


● HCl

RN 846545-93-5 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, N-[(1R,2R)-1-[[(2S)-2-amino-3-
hydroxy-1-oxopropyl]methylamino]-2,3-dihydro-1H-inden-2-yl]-2-chloro-,
monohydrochloride (9CI) (CA INDEX NAME)

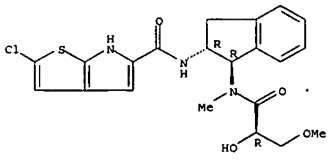
Absolute stereochemistry.

L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



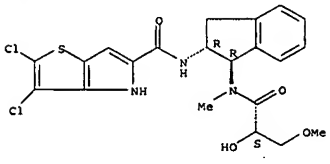
RN 846545-96-8 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-
[[(2R)-2-hydroxy-3-methoxy-1-oxopropyl]methylamino]-1H-inden-2-yl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



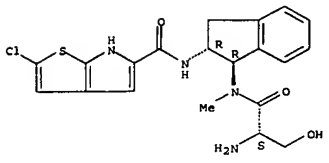
RN 846545-97-9 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide,
2,3-dichloro-N-[(1R,2R)-2,3-dihydro-
1-[[(2S)-2-hydroxy-3-methoxy-1-oxopropyl]methylamino]-1H-inden-2-yl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 846545-98-0 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide,
2,3-dichloro-N-[(1R,2R)-2,3-dihydro-

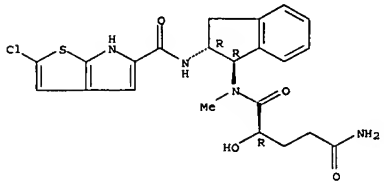
L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 846545-94-6 HCAPLUS
CN Pentanediamide, N1-[(1R,2R)-2-[[(2-chloro-6H-thieno[2,3-b]pyrrol-5-
yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-2-hydroxy-N1-methyl-, (2R)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



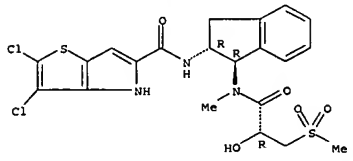
RN 846545-95-7 HCAPLUS
CN Pentanediamide, N1-[(1R,2R)-2-[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-
yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-2-hydroxy-N1-methyl-, (2R)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

1-[[(2R)-2-hydroxy-3-(methylsulfonyl)-1-oxopropyl]methylamino]-1H-inden-2-
yl]- (9CI) (CA INDEX NAME)

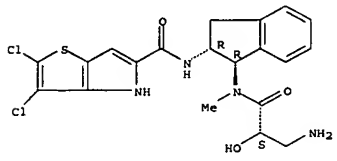
Absolute stereochemistry.



RN 846545-99-1 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, N-[(1R,2R)-1-[[(2S)-3-amino-2-

hydroxy-1-oxopropyl]methylamino]-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro-,
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

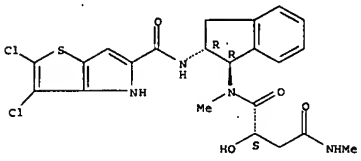


● HCl

RN 846546-00-7 HCAPLUS
CN Butanediamide, N1-[(1R,2R)-2-[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-
yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-2-hydroxy-N1,N4-dimethyl-,
(2S)- (9CI) (CA INDEX NAME)

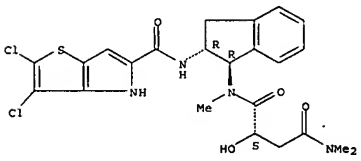
Absolute stereochemistry.

L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



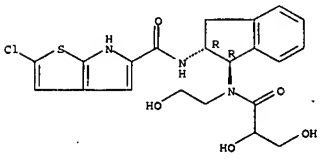
RN 846546-01-8 HCAPLUS
CN Butanediamide, N1-[(1R,2R)-2-[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-2-hydroxy-N1,N4,N4-trimethyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

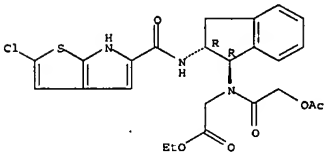


RN 846546-02-9 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-1-[(2,3-dihydroxy-1-oxopropyl)(2-hydroxyethyl)amino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



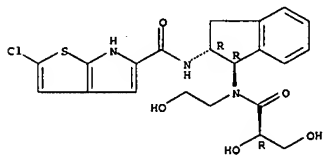
L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

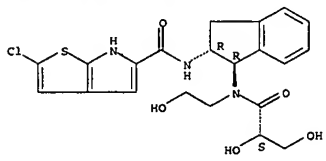
L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 846546-03-0 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-1-[[(2R)-2,3-dihydroxy-1-oxopropyl](2-hydroxyethyl)amino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 846546-04-1 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-1-[[(2S)-2,3-dihydroxy-1-oxopropyl](2-hydroxyethyl)amino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

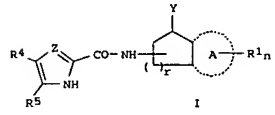
Absolute stereochemistry.



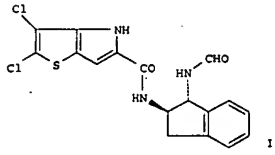
IT 846546-07-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thieno[2,3-b]pyrrole-5-carboxamide derivs. as glycogen phosphorylase inhibitors)
RN 846546-07-4 HCAPLUS
CN Glycine, N-[(acetyloxy)acetyl]-N-[(1R,2R)-2-[[(2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 14 Sep 2003
GI



I



II

AB Heterocyclic amides of formula I (most examples are N-indenyl 4H-thieno[3,2-b]pyrrole-5-carboxamides, e.g. 2,3-dichloro-N-[(1R*,2R*)-1-(formylamino)-2,3-dihydro-1H-inden-2-yl]-4H-thieno[3,2-b]pyrrole-5-carboxamide (shown as II)) (Z is CH or N; R4 and R5 together are either -SC(R6):C(R7)- or -C(R7):C(R6)S-; R6 and R7 = for example H, halo, C1-4alkyl, and C1-4alkanoyl; A is phenylene or heteroarylene; n is 0, 1 or 2; R1 = for example halo, nitro, cyano, hydroxy, carboxy; r is 1 or 2; Y is -NR2R3 or -OR3; R2 and R3 = for example H, hydroxy, aryl, heterocyclyl and C1-4alkyl ((un)substituted by 1 or 2 R8 groups); R4 = for example H, halo, nitro, cyano, hydroxy, C1-4alkyl, and C1-4alkanoyl; R8 = for example hydroxy, -COCOOR9, -C(O)N(R9)(R10), -NHC(O)R9, (R9)(R10)N- and -COOR9 ; R9 and R10 = for example H, hydroxy, C1-4alkyl ((un)substituted by 1 or 2 R13); R13 = hydroxy, halo, trihalomethyl and C1-4alkoxy) or a pharmaceutically acceptable salt or pro-drug thereof are claimed; they possess glycogen phosphorylase inhibitory activity and accordingly have value in the treatment of disease states associated with increased glycogen phosphorylase activity (e.g. type 2 diabetes, insulin resistance, syndrome X, hyperinsulinemia, hyperglucagonemia, cardiac ischemia, obesity). Processes for the manufacture of said heterocyclic amide derivs. and pharmaceutical compns. containing them are described. Inhibitory activity (IC50) of I in the direction of glycogen synthesis and on glycogen degradation were measure and are generally 100 μM to 1 nM; 4.5 μM for 2,3-dichloro-N-[(1S*,2S*)-1-[(3-thienylcarbonyl)amino]-2,3-dihydro-1H-inden-2-yl]-4H-thieno[3,2-b]pyrrole-5-carboxamide in the latter assay.

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Sixty-four example prepns. and/or characterization data for I and 23 for intermediates are included. For example, to prep. 2,3-dichloro-N-[(1R*,2R*)-1-(formylamino)-2,3-dihydro-1H-inden-2-yl]-4H-thieno[3,2-b]pyrrole-5-carboxamide, N-((1R*,2R*)-1-amino-2,3-dihydro-1H-inden-2-yl)-2,3-dichloro-4H-thieno[3,2-b]pyrrole-5-carboxamide trifluoroacetate (0.5 mmol), formic acid (1.4 mmol), DIPEA (1.0 mmol) and HOBT (0.5 mmol) were dissolved in CH2Cl2 (5 mL), stirred for 5 min, EDCI (0.625 mmol) added and the reaction stirred for 1 h; formic acid (1.4 mmol) and EDCI (1.25 mmol) were added, the reaction stirred for 2 h and the volatiles removed by evapn. under reduced pressure; workup gave 89% of the product as a white foam. The carboxamide reactant was prepd. (82 %) by deprotection of 2,3-dichloro-5-[N-[(1R*,2R*)-1-[[N-(1,1-dimethylethoxy)carbonyl]amino]indan-2-yl]carbamoyl]-4H-thieno[3,2-b]pyrrole using trifluoroacetic acid and this reactant was prepd. (80 %) from 5-carboxy-2,3-dichloro-4H-thieno[3,2-b]pyrrole (prepn. given) and trans-2-amino-1-[[(1,1-dimethylethoxy)carbonyl]amino]indan (prepn. given) using DIPEA, HOBT in CH2Cl2 followed by EDCI.

ACCESSION NUMBER: 2003:719488 HCAPLUS
DOCUMENT NUMBER: 139:246010
TITLE: Preparation of heterocyclic amide derivatives having glycogen phosphorylase inhibitory activity
INVENTOR(S): Whittamore, Paul Robert Owen; Bennett, Stuart Norman Lile; Simpson, Iain
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074531	A1	20030912	WO 2003-GB875	20030304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2477125	A1	20030912	CA 2003-2477125	20030304
AU 2003209445	A1	20030916	AU 2003-209445	20030304
BR 2003008145	A	20041207	BR 2003-8145	20030304
EP 1483271	A1	20041208	EP 2003-743418	20030304
EP 1483271	B1	20061122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1639167	A	20050713	CN 2003-805124	20030304
JP 2005524669	T	20050818	JP 2003-572999	20030304
NZ 534684	A	20060224	NZ 2003-534684	20030304
ZA 2004006685	A	20051031	ZA 2004-6685	20040823
US 2005131052	A1	20050616	US 2004-506746	20040903
US 7122567	B2	20061017		
NO 2004004033	A	20041125	NO 2004-4033	20040924

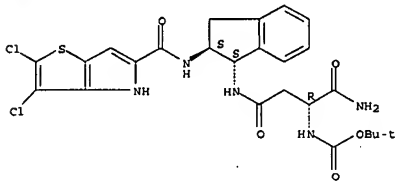
PRIORITY APPLN. INFO.: GB 2002-5170 A 20020306
WO 2003-GB875 W 20030304

OTHER SOURCE(S): MARPAT 139:246010

IT 596845-92-0P, N-[(1S,2S)-1-[[(3R)-3-[(tert-Butoxycarbonyl)amino]-3-carbamoylpropanoyl]amino]-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro-4H-thieno[3,2-b]pyrrole-5-carboxamide 596845-93-1P, 2,3-Dichloro-N-[(1R,2R)-1-[[[(4R)-2,2-dimethyl-5-oxo-1,3-dioxolan-4-yl)acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-4H-thieno[3,2-b]pyrrole-5-carboxamide 596845-95-3P, N-[(1R,2R)-1-[(2-Acetoxyacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro-4H-thieno[3,2-b]pyrrole-5-carboxamide 596846-37-6P, N-[(1R,2R)-1-[(2-Acetoxyacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-2-chloro-6H-thieno[2,3-b]pyrrole-5-carboxamide 596846-41-2P, N-[(1S,2S)-1-[[(2S)-2-[(tert-Butoxycarbonyl)amino]-2-carbamoylacetyl]amino]-2,3-dihydro-1H-inden-2-yl]-2-chloro-6H-thieno[2,3-b]pyrrole-5-carboxamide 596846-44-5P, N-[(1S,2S)-1-[[2-[(tert-Butoxycarbonyl)amino]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-2-chloro-6H-thieno[2,3-b]pyrrole-5-carboxamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of heterocyclic amide deriva. having glycogen phosphorylase inhibitory activity)

RN 596845-92-0 HCAPLUS
CN Carbamic acid, [(1R)-1-(aminocarbonyl)-3-[[(1S,2S)-2-[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

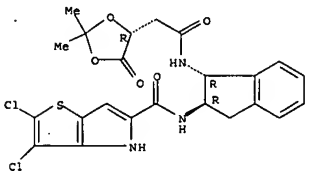
Absolute stereochemistry.



L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

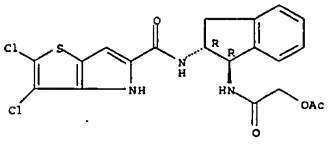
RN 596845-93-1 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-1-[[[(4R)-2,2-dimethyl-5-oxo-1,3-dioxolan-4-yl]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 596845-95-3 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, N-[(1R,2R)-1-[[(acetyloxy)acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro- (9CI) (CA INDEX NAME)

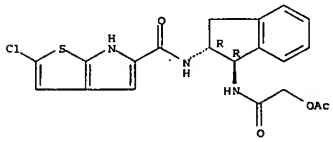
Absolute stereochemistry.



RN 596846-37-6 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, N-[(1R,2R)-1-[[(acetyloxy)acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-2-chloro- (9CI) (CA INDEX NAME)

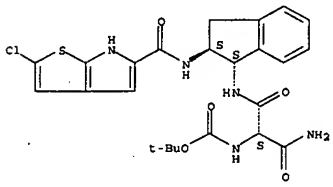
Absolute stereochemistry.

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



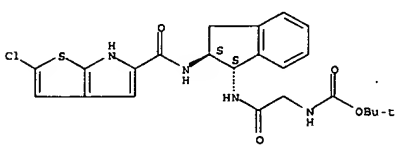
RN 596846-41-2 HCAPLUS
CN Carbamic acid, [(1S)-1-(aminocarbonyl)-2-[[(1S,2S)-2-[[(2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 596846-44-5 HCAPLUS
CN Carbamic acid, [2-[[(1S,2S)-2-[[(2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 596845-91-9P, 2,3-Dichloro-N-[(1R,2R)-1-[(methoxyacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-4H-thieno[3,2-b]pyrrole-5-carboxamide 596845-94-2P, 2,3-Dichloro-N-[(1R,2R)-1-[(3-methoxypropanoyl)amino]-2,3-dihydro-1H-inden-2-yl]-4H-thieno[3,2-b]pyrrole-

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
5-carboxamide 596845-96-4P, N-[(1R,2R)-1-[(2-Carbamoylacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-carboxamide 596845-97-5P,

2,3-Dichloro-N-[(1R,2R)-1-[(trifluoroacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-4H-thieno[3,2-b]pyrrole-5-carboxamide 596846-04-7P, N-[(1S,2S)-1-(Acryloylamino)-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro-4H-thieno[3,2-b]pyrrole-5-carboxamide 596846-06-9P, N-[(1S,2S)-1-(Acetylamino)-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro-4H-thieno[3,2-b]pyrrole-5-carboxamide 596846-07-0P, N-[(1S,2S)-1-[(2-Carboxyacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro-4H-thieno[3,2-b]pyrrole-5-carboxamide 596846-15-0P, N-[(1R,2R)-1-[((3R)-3-Amino-3-carbamoylpropanoyl)amino]-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro-4H-thieno[3,2-b]pyrrole-5-carboxamide trifluoroacetate 596846-16-1P, N-[(1R,2R)-1-[((3R)-3-Carboxy-3-hydroxypropanoyl)amino]-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro-4H-thieno[3,2-b]pyrrole-5-carboxamide 596846-17-2P, 2,3-Dichloro-N-[(1R,2R)-1-[(hydroxyacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-4H-thieno[3,2-b]pyrrole-5-carboxamide 596846-20-7P,

2,3-Dichloro-N-[(1S,2S)-1-[methyl(morpholin-4-ylacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-4H-thieno[3,2-b]pyrrole-5-carboxamide 596846-25-2P , N-[(1R,2R)-1-[N-Acetyl-N-(carboxymethyl)amino]-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro-4H-thieno[3,2-b]pyrrole-5-carboxamide 596846-27-4P, N-[(1R,2R)-1-[(Acetyl)(2-amino-2-oxoethyl)amino]-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro-4H-thieno[3,2-b]pyrrole-5-carboxamide 596846-28-5P, N-[(1R,2R)-1-[N-(Carboxymethyl)-N-(hydroxyacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro-4H-thieno[3,2-b]pyrrole-5-carboxamide 596846-33-2P,

2-Chloro-N-[(1R,2R)-1-[(methoxyacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-6H-thieno[2,3-b]pyrrole-5-carboxamide 596846-34-3P, N-[(1R,2R)-1-(Acetylamino)-2,3-dihydro-1H-inden-2-yl]-2-chloro-6H-thieno[2,3-b]pyrrole-5-carboxamide 596846-35-4P, 2-Chloro-N-[(1R,2R)-1-[(3-methoxypropanoyl)amino]-2,3-dihydro-1H-inden-2-yl]-6H-thieno[2,3-b]pyrrole-5-carboxamide 596846-46-7P, N-[(1R,2R)-1-[(2-Carbamoylacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-2-chloro-6H-thieno[2,3-b]pyrrole-5-carboxamide 596846-48-9P,

N-[(1R,2R)-1-[[2-(tert-Butoxycarbonyl)acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-2-chloro-6H-thieno[2,3-b]pyrrole-5-carboxamide 596846-49-0P, 2-Chloro-N-[(1R,2R)-1-[[3-hydroxy-2-(hydroxymethyl)propanoyl]amino]-2,3-dihydro-1H-inden-2-yl]-6H-thieno[2,3-b]pyrrole-5-carboxamide 596846-51-4P, N-[(1R,2R)-1-[((3R)-3-Amino-3-carbamoylpropanoyl)amino]-2,3-dihydro-1H-inden-2-yl]-2-chloro-6H-thieno[2,3-b]pyrrole-5-carboxamide trifluoroacetate 596846-54-7P

N-[(1R,2R)-1-[(Aminoacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-2-chloro-6H-thieno[2,3-b]pyrrole-5-carboxamide trifluoroacetate 596846-56-9P

2-Chloro-N-[(1R,2R)-1-[[[(2-hydroxyethyl)(phenylmethyl)amino]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-6H-thieno[2,3-b]pyrrole-5-carboxamide 596846-59-2P, 2-Chloro-N-[(1R,2R)-1-[(morpholin-4-ylacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-6H-thieno[2,3-b]pyrrole-5-carboxamide 596846-60-5P, 2-Chloro-N-[(1R,2R)-1-[[[(2-

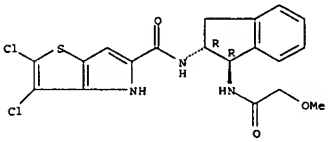
L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
hydroxyethyl)(methyl)amino]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-6H-thieno[2,3-b]pyrrole-5-carboxamide 596846-61-6P, N-[(1R,2R)-1-[[[Bis(2-hydroxyethyl)amino]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-2-chloro-6H-thieno[2,3-b]pyrrole-5-carboxamide 596846-63-8P, 2-Chloro-N-[(1R,2R)-1-[[[ethyl(2-

hydroxyethyl)amino]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-6H-thieno[2,3-b]pyrrole-5-carboxamide 596846-64-9P, 2-Chloro-N-[(1R,2R)-1-

[[[(2,3-dihydroxypropyl)(methyl)amino]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-6H-thieno[2,3-b]pyrrole-5-carboxamide 596846-66-1P, N-[(1R,2R)-1-[[[Bis(2-hydroxypropyl)amino]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-2-chloro-6H-thieno[2,3-b]pyrrole-5-carboxamide 596846-77-4P, 2-Chloro-N-[(1R,2R)-1-[(hydroxyacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-6H-thieno[2,3-b]pyrrole-5-carboxamide 596846-79-6P, 2,3-Dichloro-N-[(1R,2R)-1-[(chloroacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-4H-thieno[3,2-b]pyrrole-5-carboxamide 596846-81-0P, N-[(1R,2R)-1-[((3S)-3-Amino-3-carboxypropanoyl)amino]-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro-4H-thieno[3,2-b]pyrrole-5-carboxamide 596846-85-4P, N-[(1R,2R)-1-[(2-Carboxyacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro-4H-thieno[3,2-b]pyrrole-5-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of heterocyclic amide deriva. having glycogen phosphorylase inhibitory activity)

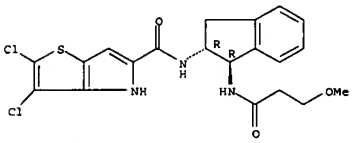
RN 596845-91-9 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-2,3-dihydro-1-[(methoxyacetyl)amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



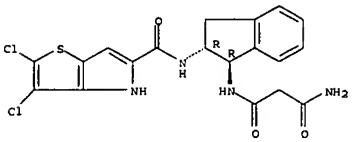
RN 596845-94-2 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-2,3-dihydro-1-[(3-methoxy-1-oxopropyl)amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



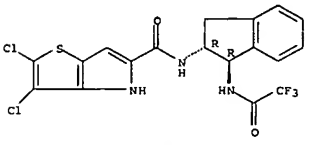
RN 596845-96-4 HCAPLUS
CN Propanediamide, N-[(1R,2R)-2-[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



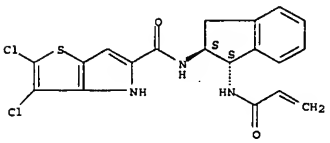
RN 596845-97-5 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-2,3-dihydro-1-[(trifluoroacetyl)amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



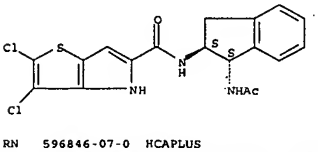
RN 596846-04-7 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1S,2S)-2,3-dihydro-1-[(1-oxo-2-propenyl)amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



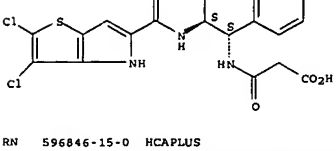
RN 596846-06-9 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, N-[(1S,2S)-1-(acetylamino)-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 596846-07-0 HCAPLUS
CN Propanoic acid, 3-[[(1S,2S)-2-[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]-3-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



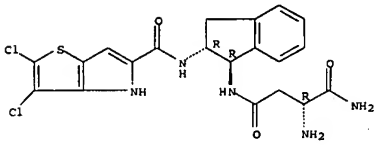
RN 596846-15-0 HCAPLUS
CN Butanediamide, 2-amino-N4-[(1R,2R)-2-[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-, (2R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 607725-18-8
CMF C20 H19 Cl2 N5 O3 S

Absolute stereochemistry.

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

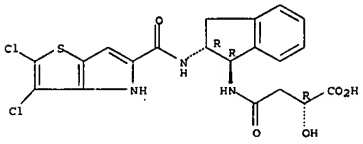


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 596846-16-1 HCAPLUS
CN Butanoic acid, 4-[[(1R,2R)-2-[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]-2-hydroxy-4-oxo-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

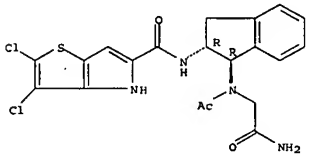


RN 596846-17-2 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-2,3-dihydro-1-[(hydroxyacetyl)amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

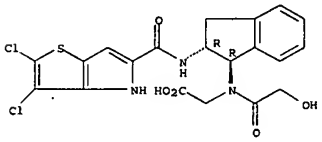
L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



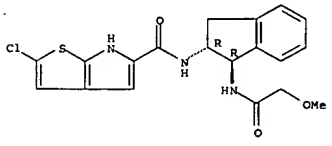
RN 596846-28-5 HCAPLUS
CN Glycine, N-[(1R,2R)-2-[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-N-(hydroxyacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 596846-33-2 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[(methoxyacetyl)amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

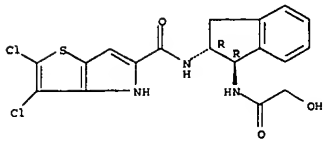
Absolute stereochemistry.



RN 596846-34-3 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, N-[(1R,2R)-1-(acetylamino)-2,3-dihydro-1H-inden-2-yl]-2-chloro- (9CI) (CA INDEX NAME)

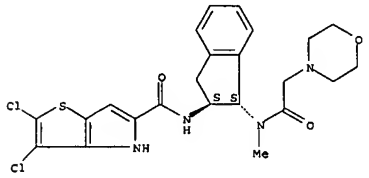
Absolute stereochemistry.

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



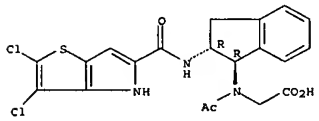
RN 596846-20-7 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1S,2S)-2,3-dihydro-1-[(methyl(4-morpholinylacetyl)amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



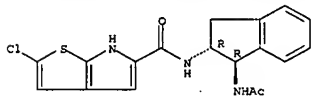
RN 596846-25-2 HCAPLUS
CN Glycine, N-acetyl-N-[(1R,2R)-2-[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



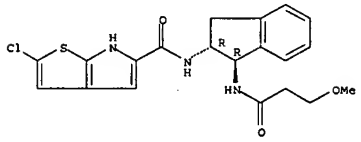
RN 596846-27-4 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, N-[(1R,2R)-1-[acetyl(2-amino-2-oxoethyl)amino]-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



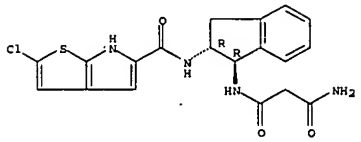
RN 596846-35-4 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[(3-methoxy-1-oxopropyl)amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 596846-46-7 HCAPLUS
CN Propanediamide, N-[(1R,2R)-2-[[(2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

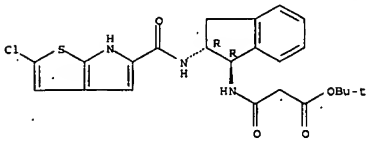
Absolute stereochemistry.



RN 596846-48-9 HCAPLUS
CN Propanoic acid, 3-[[(1R,2R)-2-[[(2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]-3-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

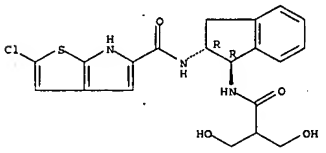
Absolute stereochemistry.

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 596846-49-0 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[[3-hydroxy-2-(hydroxymethyl)-1-oxopropyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



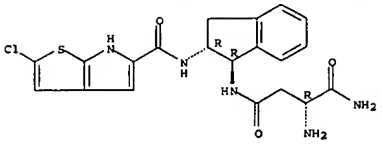
RN 596846-51-4 HCAPLUS
CN Butanediamide, 2-amino-N4-[(1R,2R)-2-[[(2-chloro-6H-thieno[2,3-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-, (2R)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 596846-50-3
CMF C20 H20 Cl N5 O3 S

Absolute stereochemistry.

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

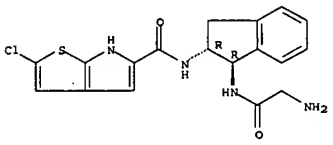
CRN 76-05-1
CMF C2 H F3 O2

RN 596846-54-7 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, N-[(1R,2R)-1-[(aminoacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-2-chloro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 596846-53-6
CMF C18 H17 Cl N4 O2 S

Absolute stereochemistry.



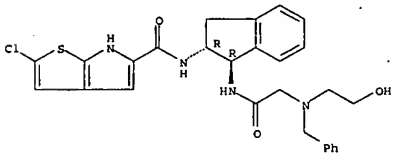
CM 2

CRN 76-05-1

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CMF C2 H F3 O2

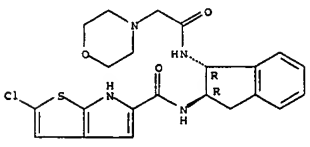
RN 596846-56-9 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[[[(2-hydroxyethyl)(phenylmethyl)amino]acetyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 596846-59-2 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[(4-morpholinylacetyl)amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

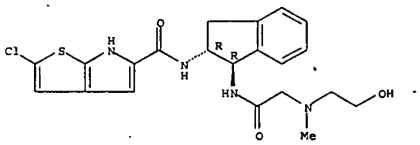
Absolute stereochemistry.



RN 596846-60-5 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[[[(2-hydroxyethyl)methylamino]acetyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

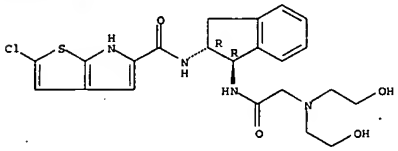
Absolute stereochemistry.

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



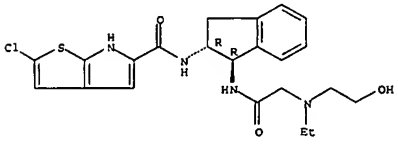
RN 596846-61-6 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, N-[(1R,2R)-1-[[[bis(2-hydroxyethyl)amino]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-2-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 596846-63-8 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-1-[[[ethyl(2-hydroxyethyl)amino]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

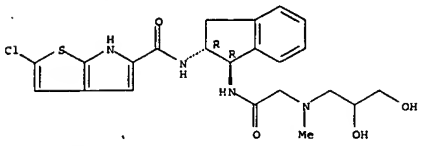
Absolute stereochemistry.



RN 596846-64-9 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-1-[[[(2,3-dihydroxypropyl)methylamino]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

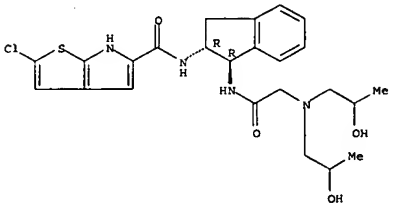
Absolute stereochemistry.

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



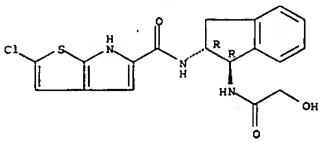
RN 596846-66-1 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, N-[(1R,2R)-1-[[[bis(2-hydroxypropyl)amino]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-2-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 596846-77-4 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-2,3-dihydro-1-[(hydroxyacetyl)amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



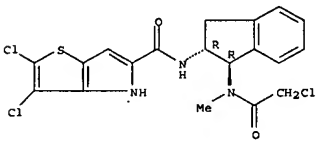
RN 596846-79-6 HCAPLUS

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
[(chloroacetyl)(methyl)amino]-2,3-dihydro-1H-inden-2-yl]-4H-thieno[3,2-b]pyrrole-5-carboxamide 596846-26-3P, 1,1-Dimethylethyl 2-[acetyl[(1R,2R)-2-[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]acetate 596846-29-6P, [[(Acetyloxy)acetyl][(1R,2R)-2-[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]acetic acid 596846-58-1P, 2-Chloro-N-[(1R,2R)-1-

[(chloroacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-6H-thieno[2,3-b]pyrrole-5-carboxamide 596847-01-7P, 1,1-Dimethylethyl 2-[[(acetyloxy)acetyl][(1R,2R)-2-[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]acetate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclic amide derivs. having glycogen phosphorylase inhibitory activity)

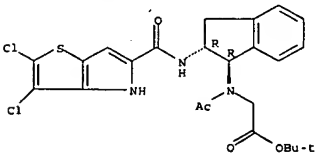
RN 596846-21-8 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-1-[(chloroacetyl)methylamino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 596846-26-3 HCAPLUS
CN Glycine, N-acetyl-N-[(1R,2R)-2-[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

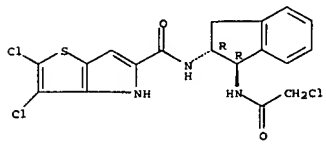
Absolute stereochemistry.



RN 596846-29-6 HCAPLUS
CN Glycine, N-[(acetyloxy)acetyl]-N-[(1R,2R)-2-[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]- (9CI) (CA

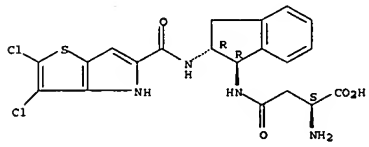
L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
4H-Thieno[3,2-b]pyrrole-5-carboxamide, 2,3-dichloro-N-[(1R,2R)-1-[(chloroacetyl)amino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



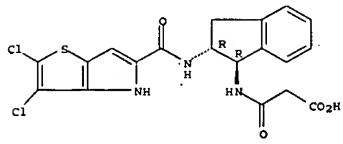
RN 596846-81-0 HCAPLUS
CN L-Asparagine, N-[(1R,2R)-2-[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 596846-85-4 HCAPLUS
CN Propanoic acid, 3-[[(1R,2R)-2-[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]-3-oxo- (9CI) (CA INDEX NAME)

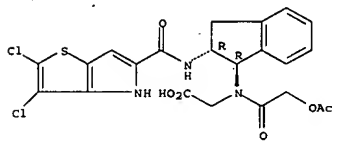
Absolute stereochemistry.



IT 596846-21-8P, 2,3-Dichloro-N-[(1R,2R)-1-

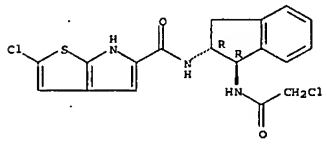
L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
NAME)

Absolute stereochemistry.



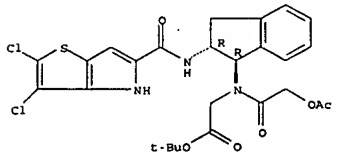
RN 596846-58-1 HCAPLUS
CN 6H-Thieno[2,3-b]pyrrole-5-carboxamide, 2-chloro-N-[(1R,2R)-1-[(chloroacetyl)amino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 596847-01-7 HCAPLUS
CN Glycine, N-[(acetyloxy)acetyl]-N-[(1R,2R)-2-[[(2,3-dichloro-4H-thieno[3,2-b]pyrrol-5-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

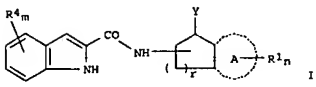


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 14 Sep 2003
GI



AB Heterocyclic amides of formula (I; 5-chloro-2-[N-(1-hydroxyindan-2-yl)carbamoyl]indole; A is phenylene or heteroarylene; m is 0, 1 or 2; n is 0, 1 or 2; R1 = for example halo, nitro, cyano, hydroxy, carboxy; r is 1 or 2; Y is -NR2R3 or -OR3; R2 and R3 = for example H, hydroxy, aryl, heterocyclyl and C1-4 alkyl((un)substituted by 1 or 2 R8 groups); R4 = for example H, halo, nitro, cyano, hydroxy, C1-4 alkyl, and C1-4 alkanoyl; R8 = for example hydroxy, -COCOOR9, -C(O)N(R9)(R10), -NHC(O)R9 , (R9)(R10)N- and -COOR9; R9 and R10 = for example H, hydroxy, C1-4 alkyl((un)substituted by 1 or 2 R13); R13 = hydroxy, halo, trihalomethyl and C1-4 alkoxy) or a pharmaceutically acceptable salt or prodrug thereof are claimed. They possess glycogen phosphorylase inhibitory activity and accordingly have value in the treatment of disease states associated with increased glycogen phosphorylase activity, e.g. type 2 diabetes, insulin resistance, syndrome X, hyperinsulinemia, hyperglucagonemia, cardiac ischemia, obesity. Inhibitory activity (IC50) of I in the direction of glycogen synthesis and on glycogen degradation were measure and are generally 100 μM to 1 nM; 7.4 μM for 5-chloro-N-[(1R,2R)-1-[[[(2-hydroxyethyl)(phenylmethyl)amino]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide in the latter assay. Processes for the manufacture of said heterocyclic amide derivs. and pharmaceutical compns. containing them are described. Thirty-seven example prepns. and/or characterization data for I and 11 for intermediates are included. For example, to prepare 5-chloro-2-[N-(trans-1-hydroxyindan-2-yl)carbamoyl]indole, 5-chloro-1H-indole-2-carboxylic acid (0.67 mmol) was dissolved in CH2Cl2 (10 mL) containing DIPEA (1.19 mmol) and trans-2-aminoindan-1-ol (0.67 mmol) and HATU (0.67 mmol); the reaction mixture was stirred at room temperature for .apprx.18 h; workup gave 100 % of the desired compound To prepare trans-2-aminoindan-1-ol, isoamyl nitrite (108 mmol) was added to a solution of indan-1,2-dione (90 mmol) in MeOH (380 mL) at 45° followed by concentrated HCl (12 mL) dropwise over 5 min; the reaction mixture was stirred for

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
3 h at room temp.; workup gave indan-1,2-dione-2-oxime (43%), which (39 mmol) in EtOH (470 mL) and 4M HCl/dioxane (36 mL) was hydrogenated at room temp. and 40 psi; workup gave 86 % of the trans-2-aminoindan-1-ol.

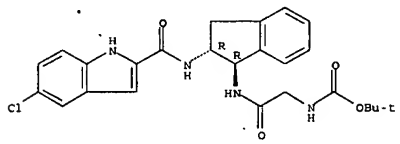
ACCESSION NUMBER: 2003:719447 HCAPLUS
DOCUMENT NUMBER: 139:245895
TITLE: Preparation of indolamide derivatives that possess glycogen phosphorylase inhibitory activity
INVENTOR(S): Whittamore, Paul Robert Owen; Bennett, Stuart Norman Lile; Simpson, Iain
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074484	A1	20030912	WO 2003-GB883	20030304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2477717	A1	20030912	CA 2003-2477717	20030304
AU 2003216988	A1	20030916	AU 2003-216988	20030304
BR 2003008144	A	20041207	BR 2003-8144	20030304
EP 1483240	A1	20041208	EP 2003-712310	20030304
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005107362	A1	20050519	US 2003-506554	20030304
CN 1639120	A	20050713	CN 2003-805309	20030304
JP 2005524667	T	20050818	JP 2003-572954	20030304
NZ 534683	A	20060224	NZ 2003-534683	20030304
ZA 2004006681	A	20050922	ZA 2004-6681	20040823
US 7138415	B2	20061121	US 2004-506554	20040901
NO 2004004032	A	20041005	NO 2004-4032	20040924
PRIORITY APPLN. INFO.:			GB 2002-5176 A	20020306
			WO 2003-GB883 W	20030304

OTHER SOURCE(S): MARPAT 139:245895
IT 597554-89-7P, 5-Chloro-N-[(1R,2R)-1-(tert-butoxycarbonylaminoacetamido)-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide 597554-91-1P, N-[(1R,2R)-1-[[(S)-3-[(tert-Butoxycarbonyl)amino]-4-oxopentanoyl]amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro-1H-indole-2-carboxamide 597555-37-8P, N-[(1R,2R)-1-[N-(2-Acetoxyacetyl)-N-(carboxymethyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloroindole-2-carboxamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

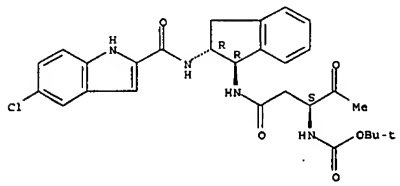
L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(drug candidate; prepn. of indolamide derivs. that possess glycogen phosphorylase inhibitory activity)
RN 597554-89-7 HCAPLUS
CN Carbamic acid, [2-[[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 597554-91-1 HCAPLUS
CN Carbamic acid, [(1S)-1-acetyl-3-[[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

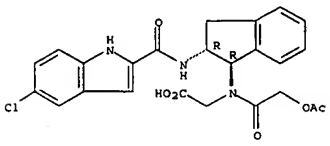
Absolute stereochemistry.



RN 597555-37-8 HCAPLUS
CN Glycine, N-[(acetyloxy)acetyl]-N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 597554-79-5P, N-[(1R*,2R*)-1-[(2-Carboxyacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloroindole-2-carboxamide 597554-83-1P, 5-Chloro-N-[(1R,2R)-1-[(3-methoxypropanoyl)amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide 597554-87-5P, N-[(1R,2R)-1-(Acetylamino)-2,3-dihydro-1H-inden-2-yl]-5-chloro-1H-indole-2-carboxamide 597554-93-3P, N-[(1R,2R)-1-[(2-Carbamoylacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloroindole-2-carboxamide 597554-95-5P, N-[(1R,2R)-1-[(2-Carboxyacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloroindole-2-carboxamide 597554-97-7P, 5-Chloro-N-[(1R,2R)-1-[(hydroxyacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide 597554-98-8P, 5-Chloro-N-[(1R,2R)-1-[[3-hydroxy-2-(hydroxymethyl)propanoyl]amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide 597555-00-5P, N-[(1R,2R)-1-[((3R)-3-Amino-3-carbamoylpropanoyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloroindole-2-carboxamide 597555-01-6P, N-[(1R,2R)-1-[((3R)-3-Amino-3-carbamoylpropanoyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloroindole-2-carboxamide trifluoroacetate 597555-02-7P 597555-03-8P

N-[(1R,2R)-1-[(Aminoacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro-1H-indole-2-carboxamide trifluoroacetate 597555-08-3P,

5-Chloro-N-[1-[(hydroxyacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide 597555-11-8P, 5-Chloro-N-[(1R,2R)-1-[[[(2-hydroxyethyl)(methyl)amino]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide 597555-12-9P, 5-Chloro-N-[(1R,2R)-1-[[[(2-

hydroxyethyl)(phenylmethyl)amino]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide 597555-13-0P, 5-Chloro-N-[(1R,2R)-1-[[(3-

hydroxypiperidin-1-yl)acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide 597555-14-1P, 5-Chloro-N-[(1R,2R)-1-[[(3-

hydroxypyrrolidin-1-yl)acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide 597555-15-2P, N-[(1R,2R)-1-[[Bis(2-hydroxyethyl)amino]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro-1H-indole-2-carboxamide 597555-18-5P, N-[1-[(Aminoacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro-1H-indole-2-carboxamide 597555-19-6P, N-[1-[((3S)-3-Amino-3-carboxypropanoyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloroindole-2-carboxamide 597555-28-7P

N-[(1S,2S)-1-[Acetyl[(2-thienyl)methyl]amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro-1H-indole-2-carboxamide 597555-30-1P,

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

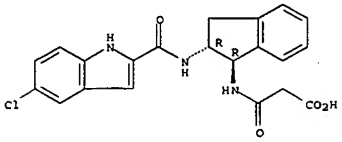
N-[(1S,2S)-1-[N-Acetyl-N-(carboxymethyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloroindole-2-carboxamide 597555-31-2P, N-[(1S,2S)-1-[N-Acetyl-N-[(2-(ethoxycarbonyl)cycloprop-1-yl]methyl]amino]-2,3-dihydro-1H-inden-2-yl]-5-chloroindole-2-carboxamide 597555-32-3P,

N-[(1R,2R)-1-[N-Acetyl-N-(carboxymethyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloroindole-2-carboxamide 597555-35-6P, N-[(1R,2R)-1-

[(Acetyl)(2-amino-2-oxoethyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro-1H-indole-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of indolamide derivs. that possess glycogen phosphorylase inhibitory activity)

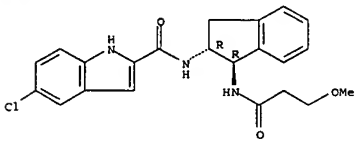
RN 597554-79-5 HCAPLUS
CN Propanoic acid, 3-[[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]-3-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 597554-83-1 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[(3-methoxy-1-oxopropyl)amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

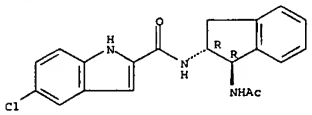
Absolute stereochemistry.



RN 597554-87-5 HCAPLUS
CN 1H-Indole-2-carboxamide,
N-[(1R,2R)-1-(acetylamino)-2,3-dihydro-1H-inden-2-

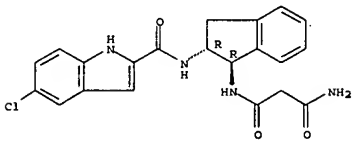
L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
yl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



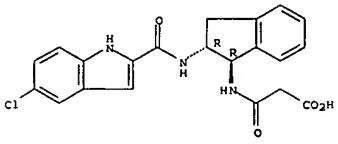
RN 597554-93-3 HCAPLUS
CN Propanediamide,
N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 597554-95-5 HCAPLUS
CN Propanoic acid, 3-[[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]-3-oxo-, (9CI) (CA INDEX NAME)

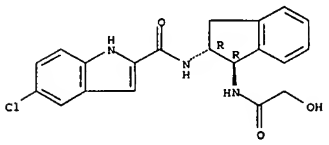
Absolute stereochemistry.



RN 597554-97-7 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[(hydroxyacetyl)amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

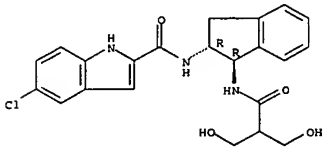
Absolute stereochemistry.

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



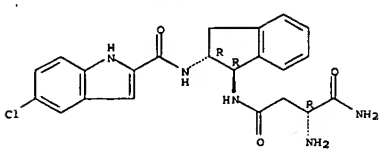
RN 597554-98-8 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[[3-hydroxy-2-(hydroxymethyl)-1-oxopropyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 597555-00-5 HCAPLUS
CN Butanediamide, 2-amino-N4-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



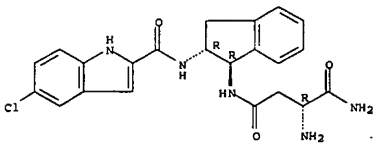
RN 597555-01-6 HCAPLUS
CN Butanediamide, 2-amino-N4-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-, (2R)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 597555-00-5
CMF C22 H22 Cl N5 O3

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.

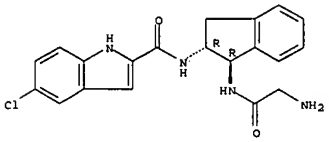


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 597555-02-7 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-1-[(aminoacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



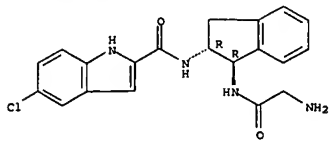
RN 597555-03-8 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-1-[(aminoacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CRN 597555-02-7
CMF C20 H19 Cl N4 O2

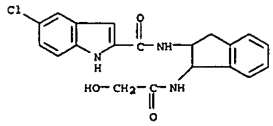
Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

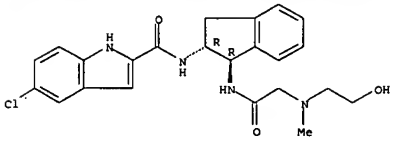
RN 597555-08-3 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2,3-dihydro-1-[(hydroxyacetyl)amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



RN 597555-11-8 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[[[(2-hydroxyethyl)methylamino]acetyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

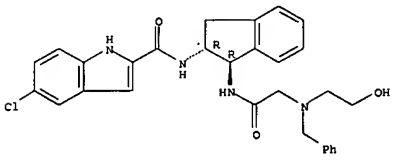
Absolute stereochemistry.

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



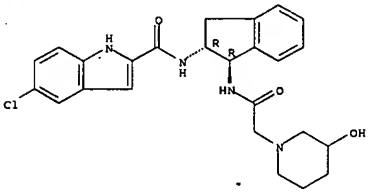
RN 597555-12-9 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[[[(2-hydroxyethyl)(phenylmethyl)amino]acetyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 597555-13-0 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[[(3-hydroxy-1-piperidinyl)acetyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

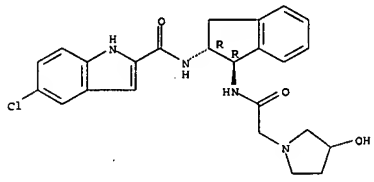
Absolute stereochemistry.



RN 597555-14-1 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2,3-dihydro-1-[[(3-hydroxy-1-pyrrolidinyl)acetyl]amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

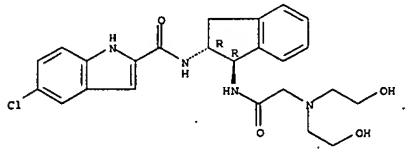
L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.

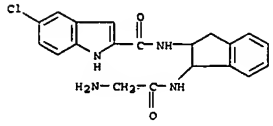


RN 597555-15-2 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-1-[[[bis(2-hydroxyethyl)amino]acetyl]amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



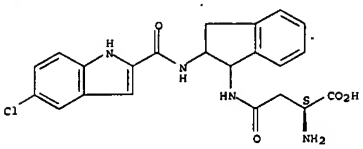
RN 597555-18-5 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[1-[(aminoacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro- (9CI) (CA INDEX NAME)



RN 597555-19-6 HCAPLUS
CN L-Asparagine, N-[2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

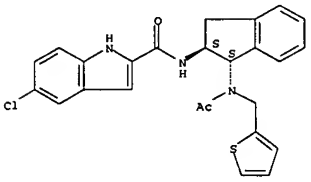
Absolute stereochemistry.

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



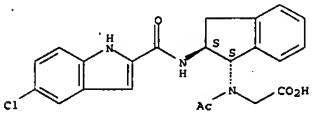
RN 597555-28-7 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1S,2S)-1-[acetyl(2-thienylmethyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 597555-30-1 HCAPLUS
CN Glycine, N-acetyl-N-[(1S,2S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

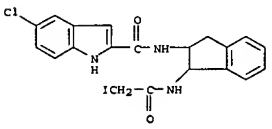


RN 597555-31-2 HCAPLUS
CN Cyclopropanecarboxylic acid, 2-[[acetyl[(1S,2S)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
1H-inden-1-yl]amino]acetate 597555-39-0P, 1,1-Dimethylethyl

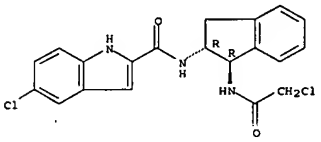
2-[[(acetyloxy)acetyl][(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]amino]acetate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of indolamide derivs. that possess glycogen phosphorylase inhibitory activity)

RN 597555-09-4 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2,3-dihydro-1-[(iodoacetyl)amino]-1H-inden-2-yl]- (9CI) (CA INDEX NAME)



RN 597555-10-7 HCAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-1-[(chloroacetyl)amino]-2,3-dihydro-1H-inden-2-yl]- (9CI) (CA INDEX NAME)

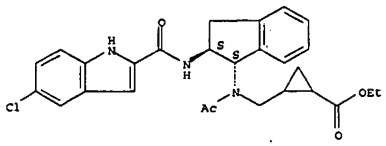
Absolute stereochemistry.



RN 597555-33-4 HCAPLUS
CN Glycine, N-acetyl-N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

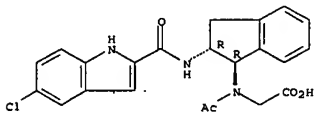
Absolute stereochemistry.

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.



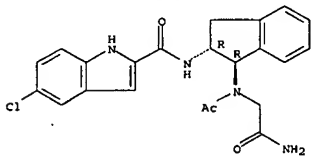
RN 597555-32-3 HCAPLUS
CN Glycine, N-acetyl-N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 597555-35-6 HCAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R,2R)-1-[acetyl(2-amino-2-oxoethyl)amino]-2,3-dihydro-1H-inden-2-yl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

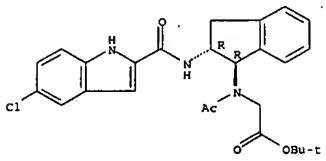


IT 597555-09-4P, 5-Chloro-N-[1-[(iodoacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide 597555-10-7P,

5-Chloro-N-[(1R,2R)-1-[(chloroacetyl)amino]-2,3-dihydro-1H-inden-2-yl]-1H-indole-2-carboxamide 597555-33-4P, 1,1-Dimethylethyl

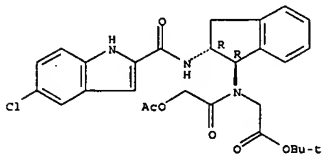
2-[acetyl[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



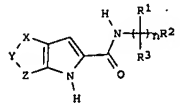
RN 597555-39-0 HCAPLUS
CN Glycine, N-[(acetyloxy)acetyl]-N-[(1R,2R)-2-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2,3-dihydro-1H-inden-1-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 15 Mar 2002
GI



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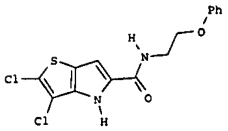

II

AB Title compds. I [R1 = H, halo, NO2, CN , OH, (un)substituted alkyl, alkenyl, etc.; R2 = H, halo, NO2, CH2F, CHF2, CF3, amino, alkyl, alkenyl, alkoxy, etc.; R3 = H, alkyl; -X-Y-Z- is selected from -S-CR4=CR5-, -CR4=CR5-S-, -O-CR4=CR5-, -CR4=CR5-O-, -N=CR4-S-, -S-CR4=N-, -NR3-CR4=CR5- and -CR4=CR5-NR3- wherein R4 and R5 = independently H, halo, CN, alkyl, ureido, NO2, etc.; n = 0-4] or a pharmaceutically acceptable salt or an in vivo hydrolyzable ester thereof were prepared possessing glycogen phosphorylase inhibitory activity (no data). Thus, II was prepared by amidation of 5-carboxy-2,3-dichloro-4H-thieno[3,2-b]pyrrole with 2-phenoxyethylamine. As glycogen phosphorylase inhibitors, I have value in the treatment of disease states associated with increased glycogen phosphorylase activity, e.g., type 2 diabetes. Pharmaceutical compns. containing I are described.

ACCESSION NUMBER: 2002:185126 HCAPLUS
DOCUMENT NUMBER: 136:247485
TITLE: Preparation of bicyclic pyrrolyl amides as glycogen phosphorylase inhibitors
INVENTOR(S): Bartlett, Julie B.; Freeman, Sue; Kenny, Peter; Morley, Andrew; Whittamore, Paul
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 141 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

L4 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020530	A1	20020314	WO 2001-SE1880	20010831
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2417594	A1	20020314	CA 2001-2417594	20010831
AU 2001082833	A5	20020322	AU 2001-82833	20010831
EP 1317459	A1	20030611	EP 2001-961577	20010831
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BR 2001013606	A	20030624	BR 2001-13606	20010831
JP 2004508376	T	20040318	JP 2002-525151	20010831
AT 263772	T	20040415	AT 2001-961577	20010831
HU 200400784	A2	20040728	HU 2004-784	20010831
NZ 524011	A	20040827	NZ 2001-524011	20010831
PT 1317459	T	20040831	PT 2001-961577	20010831
ES 2217183	T3	20041101	ES 2001-1961577	20010831
EE 200300083	A	20041215	EE 2003-83	20010831
ZA 2003001013	A	20040505	ZA 2003-1013	20030205
US 2003232875	A1	20031218	US 2003-344506	20030210
NO 2003001024	A	20030305	NO 2003-1024	20030305
BG 107624	A	20040130	BG 2003-107624	20030310
HK 1055299	A1	20041021	HK 2003-107519	20031016

PRIORITY APPLN. INFO.: GB 2000-21831 A 20000906
WO 2001-SE1880 W 20010831

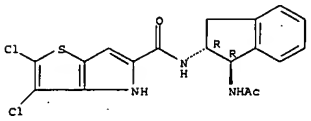
OTHER SOURCE(S): MARPAT 136:247485

IT 403860-02-6P 403860-06-0P 403860-75-3P 403860-79-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of thienopyrrolyl amides as glycogen phosphorylase inhibitors)

RN 403860-02-6 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, N-[(1R,2R)-1-(acetylamino)-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro- (9CI) (CA INDEX NAME)

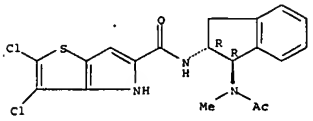
Absolute stereochemistry.

L4 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

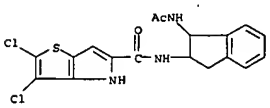


RN 403860-06-0 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, N-[(1R,2R)-1-(acetylmethylamino)-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro- (9CI) (CA INDEX NAME)

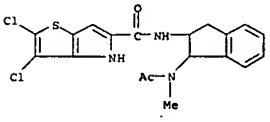
Absolute stereochemistry.



RN 403860-75-3 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, N-[1-(acetylamino)-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro- (9CI) (CA INDEX NAME)



RN 403860-79-7 HCAPLUS
CN 4H-Thieno[3,2-b]pyrrole-5-carboxamide, N-[1-(acetylmethylamino)-2,3-dihydro-1H-inden-2-yl]-2,3-dichloro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT